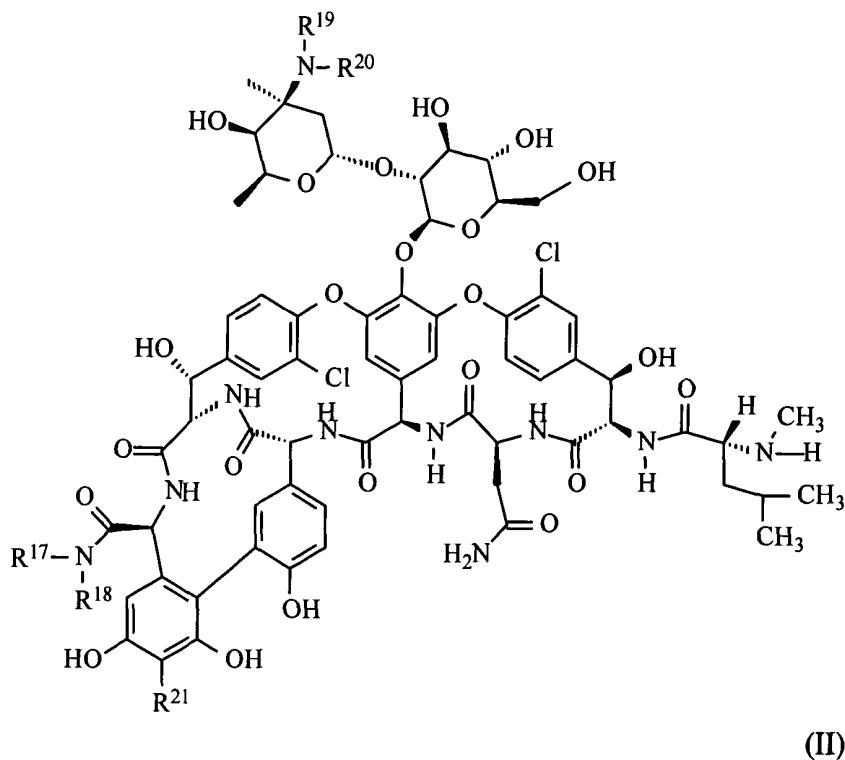


**WHAT IS CLAIMED IS:**

1. A glycopeptide substituted at the C-terminus with a substituent that comprises two or more carboxy groups; or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof; provided the glycopeptide is not 1) teicoplanin A2 substituted at the C-terminus with a nitrogen-linked glutamic acid, 2) teicoplanin aglycon (TD) substituted at the C-terminus with a nitrogen-linked glutamic acid; or 3) a compound of formula II:



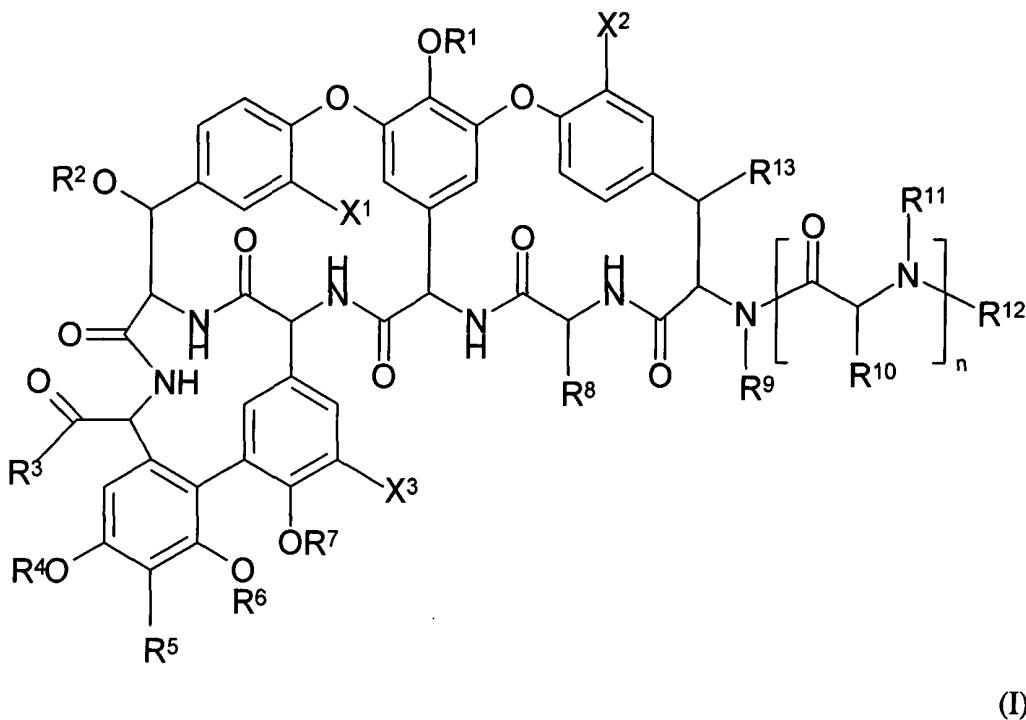
- a) wherein NR<sup>17</sup> is nitrogen-linked aspartic acid; R<sup>18</sup> is hydrogen; R<sup>19</sup> is hydrogen; R<sup>20</sup> is 2-(decylamino)ethyl; and R<sup>21</sup> is hydrogen;
- b) wherein NR<sup>17</sup> is nitrogen-linked aspartic acid; R<sup>18</sup> is hydrogen; R<sup>19</sup> is hydrogen; R<sup>20</sup> is 2-(9-hydroxydecylamino)ethyl; and R<sup>21</sup> is hydrogen;
- c) wherein R<sup>17</sup> is 1,4-dicarboxybutyl; R<sup>18</sup> is hydrogen; R<sup>19</sup> is hydrogen; R<sup>20</sup> is 2-(decylamino)ethyl; and R<sup>21</sup> is hydrogen;

- d) wherein NR<sup>17</sup> is nitrogen-linked aspartic acid; R<sup>18</sup> is hydrogen; R<sup>19</sup> is hydrogen; R<sup>20</sup> is 2-(decylamino)ethyl; and R<sup>21</sup> is -CH<sub>2</sub>-N-(D-glucamine);
- e) wherein R<sup>17</sup> is nitrogen-linked aspartic acid; R<sup>18</sup> is hydrogen; R<sup>19</sup> is hydrogen; R<sup>20</sup> is 2-[4-(4-chlorobenzyl)oxy]benzylamino]ethyl; and R<sup>21</sup> is hydrogen;
- f) wherein NR<sup>17</sup> is 5-(2-carboxypyrrolidin-1-ylcarbonyl)-5-(2-carboxy-3-phenylpropylamino)pentylamino; R<sup>18</sup> is hydrogen; R<sup>19</sup> is hydrogen; R<sup>20</sup> is 2-(decylamino)ethyl; and R<sup>21</sup> is hydrogen;
- g) wherein NR<sup>17</sup> is nitrogen-linked aspartic acid; R<sup>18</sup> is hydrogen; R<sup>19</sup> is hydrogen; R<sup>20</sup> is 2-(decylamino)ethyl; and R<sup>21</sup> is -CH<sub>2</sub>-N-(N-CH<sub>3</sub>-D-glucamine);
- h) wherein NR<sup>17</sup> is nitrogen-linked aspartic acid; R<sup>18</sup> is hydrogen; R<sup>19</sup> is hydrogen; R<sup>20</sup> is 2-(decylamino)ethyl; and R<sup>21</sup> is N-[2-(2-hydroxyethoxy)ethyl]-aminomethyl; or
- i) wherein NR<sup>17</sup> is nitrogen-linked aspartic acid; R<sup>18</sup> is hydrogen; R<sup>19</sup> is hydrogen; R<sup>20</sup> is 2-(4-isobutylbenzyl)ethyl; and R<sup>21</sup> is N-[2-(2-hydroxyethoxy)ethyl]aminomethyl.

2. The glycopeptide of claim 1 wherein the substituent comprises two carboxy groups.

3. The glycopeptide of claim 2 wherein the substituent is a nitrogen-linked aspartic acid or a nitrogen linked glutamic acid.

4. The glycopeptide of claim 1 which is a compound of formula I:



wherein:

$R^1$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-R^a-Y-R^b-(Z)_x$ ; or  $R^1$  is a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , or  $-C(O)-R^a-Y-R^b-(Z)_x$ ;

$R^2$  is hydrogen or a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , or  $-C(O)-R^a-Y-R^b-(Z)_x$ ;

$R^3$  is a nitrogen-linked, oxygen-linked, or sulfur-linked substituent comprising two or more carboxy groups;

$R^4$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ ,  $-C(O)R^d$  and a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , or  $-C(O)-R^a-Y-R^b-(Z)_x$ ;

R<sup>5</sup> is selected from the group consisting of hydrogen, halo, -CH(R<sup>c</sup>)-NR<sup>c</sup>R<sup>c</sup>, -CH(R<sup>c</sup>)-NR<sup>c</sup>R<sup>c</sup>, -CH(R<sup>c</sup>)-R<sup>x</sup>, -CH(R<sup>c</sup>)-NR<sup>c</sup>-Ra-C(=O)-R<sup>x</sup>, and -CH(R<sup>c</sup>)-NR<sup>c</sup>-R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>;

R<sup>6</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>, -C(O)R<sup>d</sup> and a saccharide group optionally substituted with -NR<sup>c</sup>-R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>, or R<sup>5</sup> and R<sup>6</sup> can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with -NR<sup>c</sup>-R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>;

R<sup>7</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>, and -C(O)R<sup>d</sup>;

R<sup>8</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R<sup>9</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R<sup>10</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R<sup>8</sup> and R<sup>10</sup> are joined to form -Ar<sup>1</sup>-O-Ar<sup>2</sup>-, where Ar<sup>1</sup> and Ar<sup>2</sup> are independently arylene or heteroarylene;

R<sup>11</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R<sup>10</sup> and R<sup>11</sup> are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R<sup>12</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -C(O)R<sup>d</sup>, -C(NH)R<sup>d</sup>, -C(O)NR<sup>c</sup>R<sup>c</sup>, -C(O)OR<sup>d</sup>, -C(NH)NR<sup>c</sup>R<sup>c</sup> and -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>,

or  $R^{11}$  and  $R^{12}$  are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

$R^{13}$  is selected from the group consisting of hydrogen or  $-OR^{14}$ ;

$R^{14}$  is selected from hydrogen,  $-C(O)R^d$  and a saccharide group;

each  $R^a$  is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each  $R^b$  is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when  $Z$  is hydrogen;

each  $R^c$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-C(O)R^d$ ;

each  $R^d$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$R^e$  is a saccharide group;

each  $R^f$  is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

$R^x$  is an N-linked amino saccharide or an N-linked heterocyclic;

$X^1$ ,  $X^2$  and  $X^3$  are each independently selected from hydrogen or chloro;

each  $Y$  is independently selected from the group consisting of oxygen, sulfur,  $-S-S-$ ,  $-NR^c-$ ,  $-S(O)-$ ,  $-SO_2-$ ,  $-NR^cC(O)-$ ,  $-OSO_2-$ ,  $-OC(O)-$ ,  $-NR^cSO_2-$ ,  $-C(O)NR^c-$ ,  $-C(O)O-$ ,  $-SO_2NR^c-$ ,  $-SO_2O-$ ,  $-P(O)(OR^c)O-$ ,  $-P(O)(OR^c)NR^c-$ ,  $-OP(O)(OR^c)O-$ ,  $-OP(O)(OR^c)NR^c-$ ,  $-OC(O)O-$ ,  $-NR^cC(O)O-$ ,  $-NR^cC(O)NR^c-$ ,  $-OC(O)NR^c-$ ,  $-C(=O)-$ , and  $-NR^cSO_2NR^c-$ ;

each  $Z$  is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

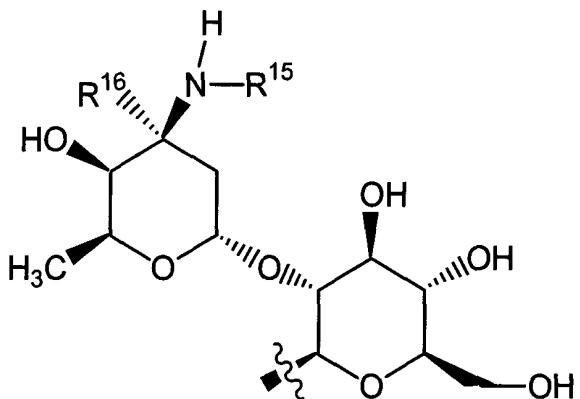
*n* is 0, 1 or 2; and

*x* is 1 or 2;

or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof.

5. The glycopeptide of claim 4 wherein R<sup>1</sup> is a saccharide group optionally substituted with -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>, R<sup>f</sup>, -C(O)R<sup>f</sup>, or -C(O)-R<sup>a</sup>-Y-R<sup>b</sup>-(Z).

6. The glycopeptide of claim 4 wherein R<sup>1</sup> is a saccharide group of the formula:

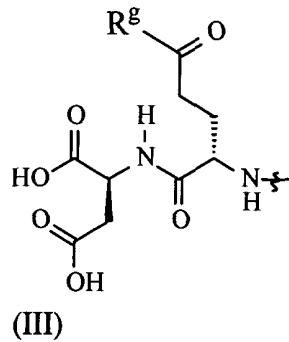


wherein R<sup>15</sup> is -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>, R<sup>f</sup>, -C(O)R<sup>f</sup>, or -C(O)-R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>; and R<sup>16</sup> is hydrogen or methyl.

7. The glycopeptide of claim 6 wherein R<sup>15</sup> is -CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>;  
-CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>; -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;  
-CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>; -CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-(CH<sub>2</sub>)<sub>11</sub>CH<sub>3</sub>;  
-CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>; -CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>; -CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>10</sub>CH<sub>3</sub>;  
-CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>CH<sub>3</sub>; -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>; -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>3</sub>-  
CH=CH-(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub> (*trans*); -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>;  
-CH<sub>2</sub>CH<sub>2</sub>-S(O)-(CH<sub>2</sub>)<sub>9</sub>CH<sub>3</sub>; -CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>Ph; -CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph;  
-CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>8</sub>Ph; -CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;  
-CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-[4-(CH<sub>3</sub>)<sub>2</sub>CHCH<sub>2</sub>]-Ph; -CH<sub>2</sub>CH<sub>2</sub>-NH-CH<sub>2</sub>-4-(4-CF<sub>3</sub>-Ph)-Ph;  
-CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph; -CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;  
-CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph; -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S(O)-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph;

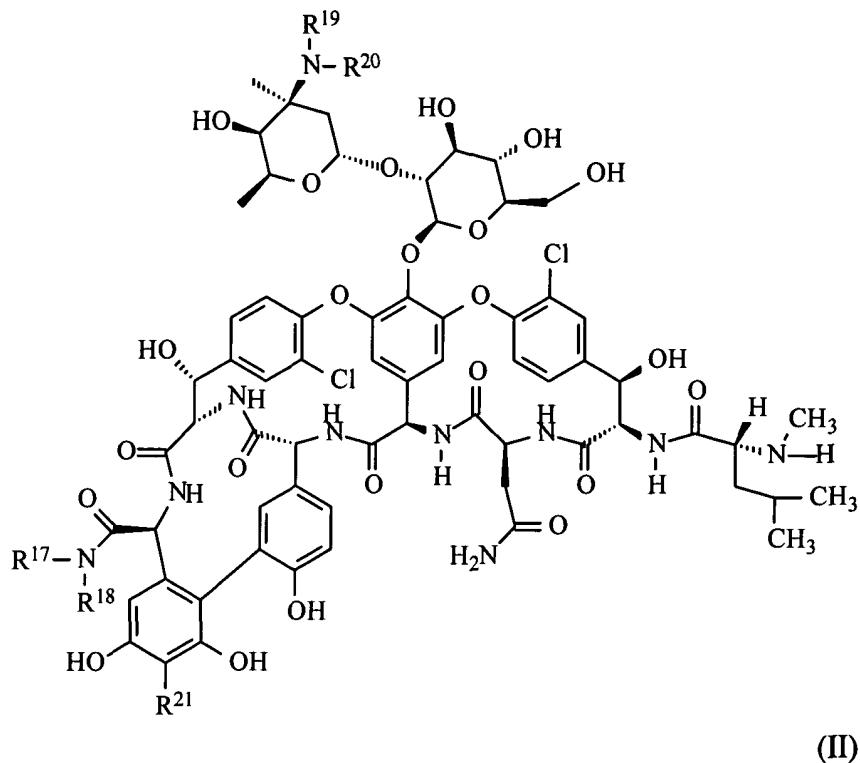
-CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-S-CH<sub>2</sub>-4-[3,4-di-Cl-PhCH<sub>2</sub>O-)-Ph; -CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-[4-(4-Ph)-Ph]-Ph; -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(4-Cl-Ph)-Ph; -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-CH<sub>2</sub>-4-(Ph-C≡C-)-Ph; -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(4-Cl-Ph)-Ph; or -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NHSO<sub>2</sub>-4-(naphth-2-yl)-Ph.

8. The glycopeptide of claim 6 wherein R<sup>3</sup> comprises two carboxy groups.
9. The glycopeptide of claim 8 wherein R<sup>3</sup> is a nitrogen-linked aspartic acid or a nitrogen linked glutamic acid.
10. The glycopeptide of claim 6 wherein R<sup>3</sup> is a nitrogen-linked radical of formula III:



wherein R<sup>g</sup> is a saccharide group.

11. The glycopeptide of claim 10 wherein R<sup>g</sup> is N-(D-glucamine) or N-(D-glucosamine).
12. The glycopeptide of claim 4 which is a compound of formula II:



wherein:

$R^{17}$  is a dicarboxy-substituted alkyl group having from 3 to 10 carbon atoms;

$R^{18}$  is selected from the group consisting of hydrogen and alkyl;

$R^{19}$  is hydrogen;

$R^{20}$  is  $-R^a-Y-R^b-(Z)_x$ ;

$R^{21}$  is hydrogen

$R^a$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

$R^b$  is selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when  $Z$  is hydrogen;

$Y$  is selected from the group consisting of sulfur,  $-S(O)-$  and  $-SO_2-$ ;

each  $Z$  is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic; and

$x$  is 1 or 2;

or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof.

13. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of claim 1.
14. The pharmaceutical composition of Claim 13, which comprises a cyclodextrin.
15. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 1.
16. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 4.
17. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 12.
18. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 13.